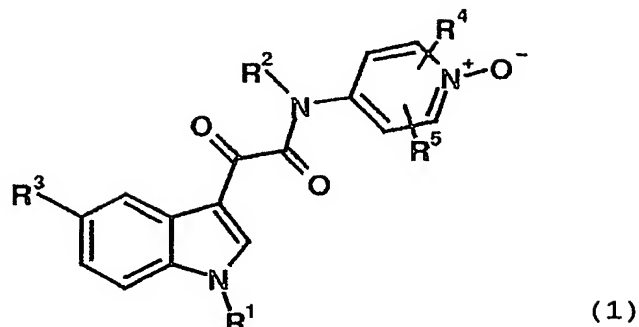


Claims

1. A compound of the formula 1



5

wherein

R¹

- 10 (i) is -C₁₋₁₀-alkyl, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH,
-NH₂, -NHC₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NHC₆₋₁₄-aryl,
-N(C₆₋₁₄-aryl)₂, -N(C₁₋₆-alkyl)(C₆₋₁₄-aryl), -NO₂,
15 -CN, -F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -O-C₆₋₁₄-aryl,
-S-C₁₋₆-alkyl, -S-C₆₋₁₄-aryl, -SO₃H, -SO₂C₁₋₆-alkyl,
-SO₂C₆₋₁₄-aryl, -OSO₂C₁₋₆-alkyl, -OSO₂C₆₋₁₄-aryl,
-COOH, -(CO)C₁₋₅-alkyl, -COO-C₁₋₅-alkyl, -O(CO)C₁₋₅-
20 alkyl, by mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are preferably N, O and S,
25 wherein the C₆₋₁₄-aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -C₁₋₆-alkyl,
-OH, -NH₂, -NHC₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NO₂, -CN,
30 -F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -S-C₁₋₆-alkyl,
-SO₃H, -SO₂C₁₋₆-alkyl, -OSO₂C₁₋₆-alkyl, -COOH,
-(CO)C₁₋₅-alkyl, -COO-C₁₋₅-alkyl or/and -O(CO)C₁₋₅-

alkyl, and wherein the alkyl groups on the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -OH, -SH, -NH₂, -F, -Cl, -Br, -I, -SO₃H or/and

5 -COOH, or

(ii) is -C₂₋₁₀-alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NHC₆₋₁₄-aryl, -N(C₆₋₁₄-aryl)₂, -N(C₁₋₆-

10 alkyl)(C₆₋₁₄-aryl), -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -O-C₆₋₁₄-aryl, -S-C₁₋₆-alkyl, -S-C₆₋₁₄-aryl, -SO₃H, -SO₂C₁₋₆-alkyl, -SO₂C₆₋₁₄-aryl, -OSO₂C₁₋₆-alkyl, -OSO₂C₆₋₁₄-aryl, -COOH, -(CO)C₁₋₅-alkyl, -COO-C₁₋₅-alkyl, -O(CO)C₁₋₅-alkyl, by

15 mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are

20 preferably N, O and S,

wherein the C₆₋₁₄-aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -C₁₋₆-alkyl,

25 -OH, -NH₂, -NHC₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -S-C₁₋₆-alkyl, -SO₃H, -SO₂C₁₋₆-alkyl, -OSO₂C₁₋₆-alkyl, -COOH, -(CO)C₁₋₅-alkyl, -COO-C₁₋₅-alkyl or/and -O(CO)C₁₋₅-alkyl,

30 and wherein the alkyl groups on the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -OH, -SH, -NH₂, -F, -Cl, -Br, -I, -SO₃H or/and -COOH,

35

R² is hydrogen or -C₁₋₃-alkyl,

R³ is a hydroxyl group,

R⁴ and R⁵ may be identical or different and are

hydrogen, -C₁₋₆-alkyl, -OH, -SH, -NH₂, -NHC₁₋₆-alkyl,
-N(C₁₋₆-alkyl)₂, -NO₂, -CN, -SO₃H, -SO₃-C₁₋₆-alkyl,
-COOH, -COO-C₁₋₆-alkyl, -O(CO)-C₁₋₅-alkyl,
-F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -S-C₁₋₆-alkyl,
5 -phenyl or -pyridyl, wherein the phenyl or pyridyl
substituents in turn may optionally be substituted
one or more times by -C₁₋₃-alkyl, -OH, -SH, -NH₂,
-NHC₁₋₃-alkyl, -N(C₁₋₃-alkyl)₂, -NO₂, -CN, -SO₃H,
-SO₃C₁₋₃-alkyl, -COOH, -COOC₁₋₃-alkyl, -F, -Cl, -Br,
10 -I, -O-C₁₋₃-alkyl, -S-C₁₋₃-alkyl, or/and -O(CO)C₁₋₃-
alkyl, and where the alkyl substituents in turn
may optionally be substituted one or more times by
-OH, -SH, -NH₂, -F, -Cl, -Br, -I, -SO₃H, -SO₃C₁₋₃-
alkyl, -COOH, -COOC₁₋₃-alkyl, -O-C₁₋₃-alkyl, -S-C₁₋₃-
15 alkyl or/and -O(CO)-C₁₋₃-alkyl,

or salts of the compounds of formula 1.

2. A compound as claimed in claim 1 having an
20 asymmetric carbon atom in the D form, the L form
and D,L mixtures, and in the case of a plurality
of asymmetric carbon atoms also the diastereomeric
forms.

253. A compound as claimed in claim 1 or 2, wherein R²
is hydrogen or a methyl group.

4. A compound as claimed in one of claims 1 to 4,
wherein at least one of R⁴ and R⁵ is a halogen
30 atom.

5. A compound as claimed in any of claims 1 to 4
selected from:

35 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-
fluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-
chlorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

N-(1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

5 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,4-dichlorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[5-hydroxy-1-(3-nitrobenzyl)-indol-3-yl]glyoxylamide

10

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,6-difluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

15

N-(3,5-dichloro-1-oxopyridin-4-yl)-(5-hydroxy-1-isobutylindol-3-yl)glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-(1-cyclopropylmethyl-5-hydroxyindol-3-yl)glyoxylamide

20

N-(3,5-dichloro-1-oxopyridin-4-yl)-[5-hydroxy-1-(4-hydroxybenzyl)-indol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-N-methyl-[1-(4-fluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

25

and physiologically tolerated salts thereof.

6. A compound as claimed in any of claims 1 to 5 selected from:

30

N-(3,5-Dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide and physiologically tolerated salts thereof.

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7. A process for preparing compounds of formula 1, which comprises converting N-(pyridine-4-yl)-indol-3-ylglyoxylamides of formula 2 into the analogous N-(1-oxopyridin-4-yl)-indol-3-ylglyoxylamides of formula 1 by treatment with an oxidizing agent, and liberating the compounds of

formula 1 by eliminating a protective group.

8. The process as claimed in claim 7, wherein a peracid, in particular m-chloroperbenzoic acid or/and peracetic acid, is used as oxidizing agent.
9. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders in which inhibition of phosphodiesterase 4 is therapeutically beneficial.
10. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders associated with the effect of eosinophils.
11. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders associated with the effect of neutrophils.
12. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of hyperproliferative disorders.
13. A drug product comprising one or more compounds as claimed in any of claims 1 to 6 in addition to conventional physiologically tolerated carriers and/or diluents and excipients.
14. A process for producing a drug product as claimed in claim 13, which comprises one or more compounds as claimed in any of claims 1 to 6 being processed with conventional pharmaceutical carriers and/or diluents and other excipients to pharmaceutical

preparations, or being converted into a form which can be used therapeutically.

15. The use of compounds of the general formula 1 as
5 claimed in any of claims 1 to 6 and/or of drug products as claimed in claim 13 alone or in combination with one another or in combination with other active pharmaceutical ingredients.